

# PCT

REC'D 13 OCT 2004

## INTERNATIONAL PRELIMINARY EXAMINATION REPORT



PCT

(PCT Article 36 and Rule 70)

|   |  |  |
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| Applicant's or agent's file reference<br>PPD 70142/WO   | <b>FOR FURTHER ACTION</b> See Notification of Transmittal of International Preliminary Examination Report (Form PCT/PEA/416) |  |
| International application No.<br>PCT/EP 03/1 1805   | International filing date (day/month/year)<br>24.10.2003   | Priority date (day/month/year)<br>01.11.2002 |
| International Patent Classification (IPC) or both national classification and IPC<br>C07D409/12 |  |  |
| Applicant<br>SYNGENTA PARTICIPATIONS AG et al.  |  |  |

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 5 sheets, including this cover sheet.  
  
☒ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).  
  
 These annexes consist of a total of 2 sheets.

3. This report contains indications relating to the following items:
  - I ☒ Basis of the opinion
  - II ☐ Priority
  - III ☐ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
  - IV ☐ Lack of unity of invention
  - V ☒ Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
  - VI ☐ Certain documents cited
  - VII ☐ Certain defects in the international application
  - VIII ☐ Certain observations on the international application

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| Date of submission of the demand<br><br>25.05.2004  | Date of completion of this report<br><br>11.10.2004   |
| Name and mailing address of the international preliminary examining authority:<br><br> European Patent Office<br>D-80298 Munich<br>Tel. +49 89 2399 - 0 Tx: 523656 epmu d<br>Fax: +49 89 2399 - 4465 | Authorized Officer<br><br>Boletti-Cremers, K<br><br>Telephone No. +49 89 2399-8541<br><br> |

**INTERNATIONAL PRELIMINARY  
EXAMINATION REPORT**

International application No. **PCT/EP 03/11805**

**I. Basis of the report**

1. With regard to the **elements** of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*):

**Description, Pages**

2-36 as originally filed  
1, 1B received on 27.09.2004 with letter of 22.09.2004

**Claims, Numbers**

1-10 as originally filed

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).  
☐ the language of publication of the international application (under Rule 48.3(b)).  
☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.  
☐ filed together with the international application in computer readable form.  
☐ furnished subsequently to this Authority in written form.  
☐ furnished subsequently to this Authority in computer readable form.  
☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.  
☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- ☐ the description, pages:  
☐ the claims, Nos.:  
☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

*(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)*

6. Additional observations, if necessary:

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International application No. **PCT/EP 03/1805**

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**V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability;  
citations and explanations supporting such statement**

**1. Statement**

|                               |             |      |
|-------------------------------|-------------|------|
| Novelty (N)                   | Yes: Claims | 1-10 |
|                               | No: Claims  |      |
| Inventive step (IS)           | Yes: Claims | 1-10 |
|                               | No: Claims  |      |
| Industrial applicability (IA) | Yes: Claims | 1-10 |
|                               | No: Claims  |      |

**2. Citations and explanations**

**see separate sheet**

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**POINT V.**

The following documents , quoted in the I.S.R. or mentioned by the Applicant, have been considered as relevant for the examination of the present application . Their numbering will be adhered to for the rest of the procedure.

- (1) EP-A-0 737 682.
- (2) GB-A-2 126 587.
- (3) EP-A-0 253 502.
- (4) WO-A-03/074491 (point VI).
- (5) WO-A- 2004/018438(point VI).

**1. Novelty.**

- 1.1 In view of the documents (1)-(3) quoted above , the novelty of the claims can be acknowledged , because none of those documents discloses the claimed fungicidal compounds on file.
- 1.2 Although (4) , as filed on 21.02.2003 and published on 12.09.2003 and claiming priority rights on 05.03.2002 and 13.01.2003 , is not prior art according to the Chap II PCT proceedings, its content will not affect the novelty of the regional European proceedings to come, because (4) relates to compounds which do not fall within the scope of the claimed matter on file.
- 1.3 Although (5), as filed on 18.08.2003 and published on 04.03.2004 and claiming priority rights on 22.08.2002 and 07.05.2003 , is not prior art according to the Chap II PCT proceedings, its content will affect the novelty of the regional European proceedings to come, because (5) relates to compounds which are not clearly excluded of the scope of the claimed matter on file, namely the 1,2,3 triazole compounds summarised under present proviso (see line 8 of claim 1).

Indeed , from the drafting of present claim 1 , it is not clear if the precision that the ring must be substituted by R<sup>4</sup>-R<sup>6</sup> (see line 8 of claim 1 ) addresses the triazole ring or the rings meant to be protected by present invention as claimed .

However , as pin pointed by the Applicant in his response of 22-09.2004 , the definitions R<sup>4</sup>-R<sup>6</sup> address the invention as claimed.

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Under those circumstances , the content of (5) , which discloses a **substituted** 1,2,3 triazole derivative (see  $R^1$  ,  $R^2$  definitions of the compounds (I) disclosed in (5)) and not an unsubstituted triazole as could be interpreted by present drafting of claim 1, is not clearly avoided.

In order to deal with present opinion , the Applicant could reformulate claim 1 at the entry into the regional European Proceedings according to the following :

*Provided that the ring is not triazole* should be moved at the end of claim 1 after  $C_{1-4}$  haloalkyl, whereas the provision that at least one of  $R^4$ - $R^6$  is not hydrogen (see present line 15 of claim 1 *provided that at least one of  $R^4$  ,  $R^5$  and  $R^6$  is not hydrogen*) should be moved at line 8 of claim 1 **after  $R^6$  and before the semicolon.**

Such a reformulation would clearly define the sought extension of protection of the claims and also avoid the prior art disclosed in (5) (Art 54(3) EPC).

**2. Inventiveness.**

In view of the content of the documents (1)-(3) , the claimed matter can be regarded as inventive , because there is no logical structure-activity relationship between the claimed compounds and those disclosed in the prior art (1)-(3) quoted above.

The extensive examination of (4) , on the question whether it constitutes prior art or not , will depend essentially on the analysis of the claimed priority rights of present application and will only be performed in the regional European proceedings to come.

**3. Formal Points**

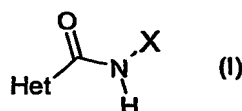
3.1 Possibly (4) and (5) should be quoted and briefly discussed in the description when the application will enter the regional European proceedings ((4) , possibly under Art 54(2) and (5) , possibly under Art 54(3) EPC).

## CYCLOPROPYL-THIENYL-CARBOXAMIDE AS FUNGICIDES

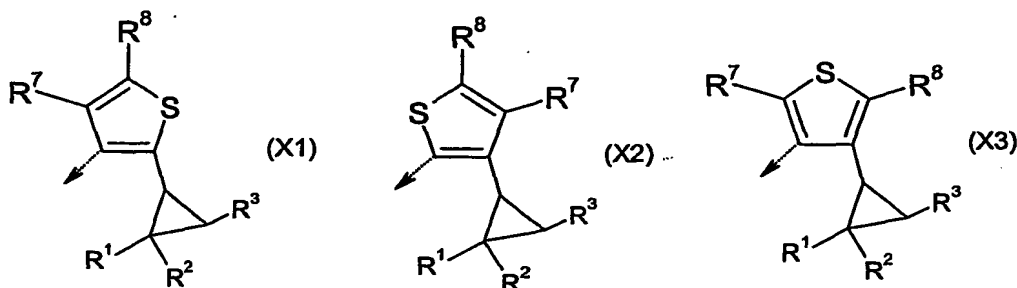
The present invention relates to novel ortho-cyclopropyl-thienyl-carboxamides which have microbiocidal activity, in particular fungicidal activity. The invention also relates to the preparation of these compounds, to novel intermediates used in the preparation of these compounds, to the preparation of intermediates, to agrochemical compositions which comprise at least one of the novel compounds as active ingredient and to the use of the active ingredients or compositions in agriculture or horticulture for controlling or preventing infestation of plants by phytopathogenic microorganisms, preferably fungi.

10 Fungicidal heterocyclic aromatic amides are disclosed in WO01/05769A2.

The present invention provides a compound of formula (I):



where X is (X1), (X2) or X(3);



15 Het is a 5- or 6-membered heterocyclic ring containing one to three heteroatoms, each independently selected from oxygen, nitrogen and sulphur, provided that the ring is not 1,2,3-triazole, the ring being substituted by groups R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup>; R<sup>1</sup> and R<sup>2</sup> are each, independently, hydrogen, halo or methyl; R<sup>3</sup> is optionally substituted C<sub>2-12</sub> alkyl, optionally substituted C<sub>2-12</sub> alkenyl, optionally substituted C<sub>2-12</sub> alkynyl, optionally substituted C<sub>3-12</sub> cycloalkyl, optionally substituted phenyl or optionally substituted

20 heterocyclyl; R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup> are each, independently, selected from hydrogen, halo, cyano, nitro, C<sub>1-4</sub> alkyl, C<sub>1-4</sub> haloalkyl, C<sub>1-4</sub> alkoxy(C<sub>1-4</sub>)alkylene and C<sub>1-4</sub> haloalkoxy(C<sub>1-4</sub>)alkylene, provided that at least one of R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup> is not hydrogen; and R<sup>7</sup> and R<sup>8</sup> are each, independently, hydrogen, halogen, C<sub>1-4</sub> alkyl or C<sub>1-4</sub> haloalkyl.

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REPLACED BY  
ART 34 AMDT